(19) World Intellectual Property Organization

International Bureau



(43) International Publication Date 6 May 2005 (06.05.2005)

PCT

(10) International Publication Number WO 2005/040076 A1

(51) International Patent Classification⁷: 29/09, C12P 41/00

C07C 29/00,

(21) International Application Number:

PCT/EP2004/011614

(22) International Filing Date: 14 October 2004 (14.10.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 03078277.5

17 October 2003 (17.10.2003) EP

- (71) Applicant (for all designated States except US): DSM IP ASSETS B.V. [NL/NL]; Het Overloon 1, NL-6411 TE Heerlen (NL).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): BOXTEL VAN, Danniël, Adrianus, Franciscus, Jacobus [NL/NL]; Barentsstraat 20, 6004 EW Weert (NL). VERZIJL, Gerardus, Karel, Maria [NL/NL]; Nicolaasstraat 12, NL-5855 AR Well (NL).
- (74) Agent: HERMANS, Edith, Elisabeth, Hermine; DSM Intellectual Property, P.O. Box 9, NL-6160 MA Geleen (NL).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

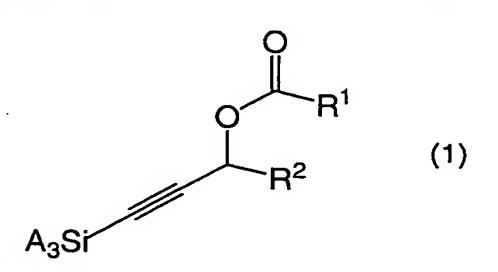
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PROCESS FOR THE PREPARATIN OF ALKYNOLS



(57) Abstract: Process for the preparation of an alkynol with formula HC=C-C(OH)-R² (formula 2) wherein R² represents methyl, halomethyl or ethyl, wherein the corresponding silyl-protected alkynol ester with formula 1 (1) wherein R¹ represents H, or an optionally substituted alkyl, an optionally substituted alkenyl or an optionally substituted (hetero)aryl group, R² is as defined above and A₃Si represents a trisubstituted silyl group wherein each A independently represents anoptionally substituted alkyl or an optionally substituted (hetero)aryl group, in the presence of water and at least an equivalent amount of amine functionalities is converted into the alkynol with formula 2. Preferably, the amount of water is between 0.5 and 3 equivalents calculated with

respect to the amount of silyl-protected alkynol ester with formula (1).